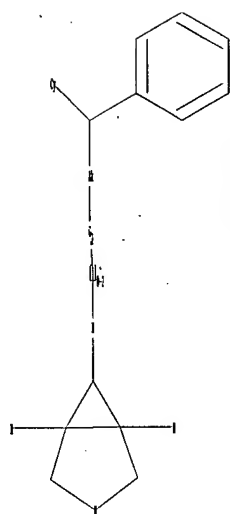


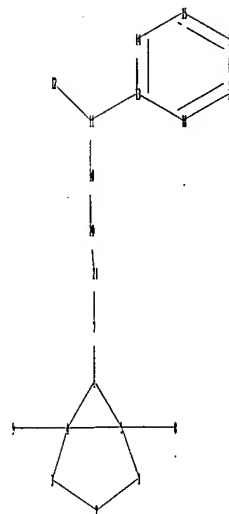
# STN Structure Search (Reg/Caplus)

10/552,456

06/18/2007



AK  
G1=O,S,N  
C0-2  
N



chain nodes :

7 8 9 10 11 12 20 21

ring nodes :

1 2 3 4 5 6 13 14 15 16 17 18

chain bonds :

4-9 5-8 6-7 7-21 10-11 10-20 11-12 11-13 20-21

ring bonds :

1-2 1-5 2-3 3-4 4-5 4-6 5-6 13-14 13-18 14-15 15-16 16-17 17-18

exact/norm bonds :

1-2 1-5 2-3 3-4 4-5 4-6 5-6 6-7 7-21 10-11 10-20 11-12 20-21

exact bonds :

4-9 5-8 11-13

normalized bonds :

13-14 13-18 14-15 15-16 16-17 17-18

# STN Structure Search (Registry/Caplus)

10/552,456

06/18/2007

G1:O,S,N

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS  
11:CLASS 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 20:CLASS  
21:CLASS

Generic attributes :

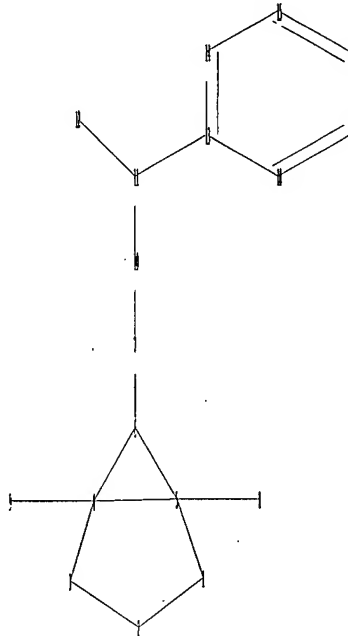
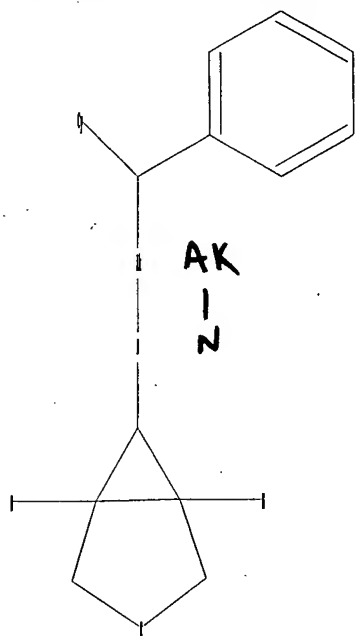
12:

Saturation : Unsaturated

L1 STRUCTURE UPLOADED

=>

Uploading C:\Program Files\Stnexp\Queries\10552456\1 no O S N.str



chain nodes :

7 8 9 10 11 12

ring nodes :

1 2 3 4 5 6 13 14 15 16 17 18

chain bonds :

4-9 5-8 6-7 7-10 10-11 11-12 11-13

ring bonds :

1-2 1-5 2-3 3-4 4-5 4-6 5-6 13-14 13-18 14-15 15-16 16-17 17-18

exact/norm bonds :

1-2 1-5 2-3 3-4 4-5 4-6 5-6 6-7 7-10 10-11 11-12

exact bonds :

4-9 5-8 11-13

normalized bonds :

13-14 13-18 14-15 15-16 16-17 17-18

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS  
11:CLASS 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom  
Generic attributes :  
12:  
Saturation : Unsaturated

L2 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 21:38:35 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 1447 TO ITERATE

100.0% PROCESSED 1447 ITERATIONS

1 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 26658 TO 31222

PROJECTED ANSWERS: 1 TO 80

L3 1 SEA SSS SAM L1

=> d scan

10/552,456

06/18/2007

=> s l1 full ✓  
FULL SEARCH INITIATED 21:39:02 FILE 'REGISTRY'  
FULL SCREEN SEARCH COMPLETED ✓ 27616 TO ITERATE

100.0% PROCESSED ✓ 27616 ITERATIONS  
SEARCH TIME: 00.00.01

9 ANSWERS

L4 9 SEA SSS FUL L1

=> d his

(FILE 'HOME' ENTERED AT 21:37:38 ON 17 JUN 2007)

FILE 'REGISTRY' ENTERED AT 21:37:56 ON 17 JUN 2007

L1 STRUCTURE UPLOADED  
L2 STRUCTURE UPLOADED  
L3 1 S L1  
L4 9 S L1 FULL

=> s l2 ✓  
SAMPLE SEARCH INITIATED 21:39:16 FILE 'REGISTRY'  
SAMPLE SCREEN SEARCH COMPLETED ✓ 3002 TO ITERATE

66.6% PROCESSED 2000. ITERATIONS  
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)  
SEARCH TIME: 00.00.01

2 ANSWERS

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\* ✓  
BATCH \*\*COMPLETE\*\*  
PROJECTED ITERATIONS: 56754 TO 63326  
PROJECTED ANSWERS: 2 TO 163

L5 2 SEA SSS. SAM L2

=> d scan

=> s l2 full ✓  
FULL SEARCH INITIATED 21:39:35 FILE 'REGISTRY'  
FULL SCREEN SEARCH COMPLETED ✓ 58234 TO ITERATE

100.0% PROCESSED 58234 ITERATIONS  
SEARCH TIME: 00.00.01

35 ANSWERS

L6 35 SEA SSS FUL L2

=> d his

(FILE 'HOME' ENTERED AT 21:37:38 ON 17 JUN 2007)

FILE 'REGISTRY' ENTERED AT 21:37:56 ON 17 JUN 2007

L1 STRUCTURE UPLOADED  
L2 STRUCTURE UPLOADED  
L3 1 S L1  
L4 9 S L1 FULL  
L5 2 S L2  
L6 35 S L2 FULL

=> fil caplus ✓  
COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
344.65	344.86

FULL ESTIMATED COST

FILE 'CAPLUS' ENTERED AT 21:39:48 ON 17 JUN 2007  
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PLEASE SEE "HELP USAGETERMS" FOR DETAILS.  
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FILE COVERS 1907 - 17 Jun 2007 VOL 146 ISS 26  
FILE LAST UPDATED: 15 Jun 2007 (20070615/ED)

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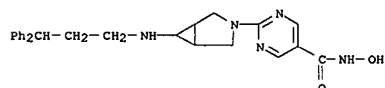
=> s l4 or l6  
3 L4  
8 L6  
L7 8 L4 OR L6

=> d ibib abs hitstr 1-8

L7 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 2006:1226133 CAPLUS  
 DOCUMENT NUMBER: 145:505473  
 TITLE: Preparation of hydroxamic acids as histone deacetylase inhibitors for use against proliferative diseases including cancers  
 INVENTOR(S): Moffat, David Festus Charles; Patel, Sanjay Ratilal; Mazzei, Francesca Ann; Belfield, Andrew James; Van Meurs, Sandra  
 PATENT ASSIGNEE(S): Chroma Therapeutics Ltd, UK  
 SOURCE: PCT Int. Appl., 120pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

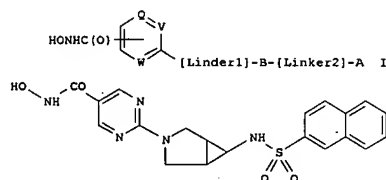
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RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
GB 2429707	A	20070307	GB 2006-18717	20060515
PRIORITY APPLN. INFO.: GB 2005-10204 A 20050519				
WO 2006-GB1779 W 20060515				
OTHER SOURCE(S): MARPAT 145:505473				
GI				

L7 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)  
 (Drug candidate; prepn. of hydroxamic acids as histone deacetylase inhibitors for use against proliferative diseases including cancers)  
 RN 914937-63-6 CAPLUS  
 CN 5-Pyrimidinecarboxamide, 2-[6-[(3,3-diphenylpropyl)amino]-3-azabicyclo[3.1.0]hex-3-yl]-N-hydroxy- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE  
 FORMAT

L7 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

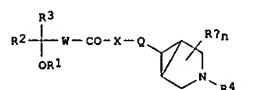


AB Hydroxamic acids (shown as I; variables defined below; e.g. N-hydroxy-2-[6-[(2-naphthyl)sulfonyl]amino]-3-azabicyclo[3.1.0]hex-3-yl]pyrimidine-5-carboxamide hydrochloride (free base shown as II)) and salts, N-oxides, hydrates and solvates thereof are histone deacetylase inhibitors and are useful in the treatment of cell proliferative diseases, including cancers. For I: Q, V and W = N or C; B is a divalent radical = azetidin-1,3-diyl (N on left), 3-azabicyclo[3.1.0]hexane-3,6-diyl (N on either side), hexahydropyrrolo[3,4-c]pyrrole-2,5-diyl and 3,9-diazaspiro[5.5]undecane-3,9-diyl; A is an (un)substituted mono-, bi- or tri-cyclic carbocyclic or heterocyclic ring system; and -[Linker1]- and -[Linker2]- = a bond, or a divalent linker radical; addnl. details are given in the claims. Although the methods of preparation are not claimed, preps. and/or characterization data for .apprx.80 examples of I are included. For example, II was prepared in 6 steps (82, not given, 85, 93, 87 and 75 % yields, resp.) starting with condensation of tert-Bu 6-amino-3-azabicyclo[3.1.0]hexane-3-carboxylate (preparation given) with 2-naphthalenesulfonyl chloride to give tert-Bu 6-[[[(2-naphthyl)sulfonyl]amino]-3-azabicyclo[3.1.0]hexane-3-carboxylate, which was deprotected and alkylated by Et 2-(methylsulfonyl)pyrimidine-5-carboxylate (preparation given) to give Et 2-[6-[[[(2-naphthyl)sulfonyl]amino]-3-azabicyclo[3.1.0]hex-3-yl]pyrimidine-5-carboxylate, which was saponified and condensed with O-(1-isobutoxyethyl)hydroxylamine to give N-(1-isobutoxyethoxy)-2-[6-[[[(naphthalen-2-yl)sulfonyl]amino]-3-azabicyclo[3.1.0]hex-3-yl]pyrimidine-5-carboxamide, which was cleaved by HCl to give the final product. Semiquant. IC50 values for inhibition of histone deacetylase and U937, HUT and HeLa human cell lines are tabulated for .apprx.80 examples of I.  
 IT 914937-63-6P, N-Hydroxy-2-[6-[(3,3-diphenylpropyl)amino]-3-azabicyclo[3.1.0]hex-3-yl]pyrimidine-5-carboxamide  
 RI: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

L7 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2006:1174148 CAPLUS  
 DOCUMENT NUMBER: 145:471412  
 TITLE: Preparation of 3,6-disubstituted azabicyclo[3.1.0]hexane derivatives as muscarinic receptor antagonists for use against respiratory, urinary and gastrointestinal diseases  
 INVENTOR(S): Salman, Mohammad; Kumar, Naresh; Kaur, Kirandeep; Aeron, Shelly; Sarma, Pakala Kumara Savithru; Dharmarajan, Sankaranarayanan; Mehta, Anila; Chugh, Anita  
 PATENT ASSIGNEE(S): Ranbaxy Laboratories Limited, India  
 SOURCE: PCT Int. Appl., 79pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006117754	A1	20061109	WO 2006-1B51368	20060501
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
PRIORITY APPLN. INFO.: IN 2005-DE1810 A 20050503				
IN 2006-DE1681 A 20060328				
OTHER SOURCE(S): MARPAT 145:471412				
GI				

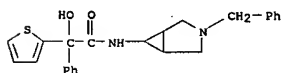


AB The present invention generally relates to azabicyclo[3.1.0]hexane derivs. (shown as I; variables defined below; e.g. N-(3-benzyl-3-azabicyclo[3.1.0]hex-6-yl)-2-hydroxy-2-(2-thienyl)acetamide (I)) as muscarinic receptor antagonists, which are useful, among other uses, for the treatment of various diseases of the respiratory, urinary and gastrointestinal systems mediated through muscarinic receptors. The invention also relates to the process for the preparation of disclosed compds.,

L7 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)  
pharmaceutical compns. contg. the disclosed compds., and the methods for treating diseases mediated through muscarinic receptors. For I: R1 is H or alkyl; R2 is straight or branched alkyl, alkenyl, alkynyl, aryl, cycloalkyl, cycloalkylalkyl or heteroaryl (un)substituted with 21 alkyl, hydroxy or halogen. R3 is aryl or heteroaryl (un)substituted with 21 alkyl, hydroxy or halogen; W = -(CH2)i; Q = -(CH2)j; X is O or -N(R5)-; R4 is H, straight or branched alkyl, straight or branched alkenyl, aralkyl or heteroarylalkyl wherein the said aralkyl or heteroarylalkyl is further substituted with alkyl, -NH2 or alkoxy; carbonylamino; R5 is H or alkyl; R6 is H or Me; and n, i, j = 0-2. Results of radioligand binding assays for M2 and M3 muscarinic receptors are reported for many examples of I. Methods of prepn. are claimed and preps. and/or characterization data for approx. 120 examples of I are included. For example, 1 was prepd. from hydroxy(phenyl)(thien-2-yl)acetic acid and 3-benzyl-3-azabicyclo[3.1.0]hexan-6-amine in DMF using hydroxybenzotriazole, N-methylmorpholine and 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide.

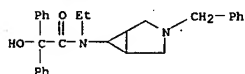
IT 913981-26-7P, N-(3-Benzyl-3-azabicyclo[3.1.0]hex-6-yl)-2-hydroxy-2-phenyl-2-(2-thienyl)acetamide 913981-28-9P, N-(3-Benzyl-3-azabicyclo[3.1.0]hex-6-yl)-2-hydroxy-2-phenyl-2-(3-thienyl)acetamide 913981-36-9P, N-(3-Benzyl-3-azabicyclo[3.1.0]hex-6-yl)-2-(4-fluorophenyl)-2-hydroxy-2-phenylacetamide 913981-37-0P, N-(3-Benzyl-3-azabicyclo[3.1.0]hex-6-yl)-2-hydroxy-2-(4-methylphenyl)-2-phenylacetamide 913981-43-8P, N-(3-Benzyl-3-azabicyclo[3.1.0]hex-6-yl)-2-methoxy-2,2-diphenylacetamide 913981-45-0P, N-(3-Benzyl-3-azabicyclo[3.1.0]hex-6-yl)-N-ethyl-2-hydroxy-2,2-diphenylacetamide 913981-87-0P, N-(3-Azabicyclo[3.1.0]hex-6-yl)-2-methoxy-2,2-diphenylacetamide  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of 3,6-disubstituted azabicyclo[3.1.0]hexane  
deriva. as muscarinic receptor antagonists for use against respiratory, urinary and gastrointestinal diseases)  
RN 913981-26-7 CAPLUS  
CN 2-Thiopheneacetamide,  $\alpha$ -hydroxy- $\alpha$ -phenyl-N-[3-(phenylmethyl)-3-azabicyclo[3.1.0]hex-6-yl]- (9CI) (CA INDEX NAME)

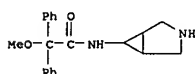


RN 913981-28-9 CAPLUS  
CN 3-Thiopheneacetamide,  $\alpha$ -hydroxy- $\alpha$ -phenyl-N-[3-(phenylmethyl)-3-azabicyclo[3.1.0]hex-6-yl]- (9CI) (CA INDEX NAME)

L7 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

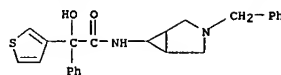


RN 913981-87-0 CAPLUS  
CN Benzeneacetamide, N-3-azabicyclo[3.1.0]hex-6-yl- $\alpha$ -methoxy- $\alpha$ -phenyl- (9CI) (CA INDEX NAME)

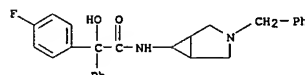


REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RECORD

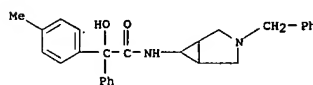
L7 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



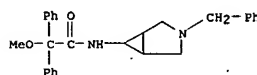
RN 913981-36-9 CAPLUS  
CN Benzeneacetamide, 4-fluoro- $\alpha$ -hydroxy- $\alpha$ -phenyl-N-[3-(phenylmethyl)-3-azabicyclo[3.1.0]hex-6-yl]- (9CI) (CA INDEX NAME)



RN 913981-37-0 CAPLUS  
CN Benzeneacetamide,  $\alpha$ -hydroxy-4-methyl- $\alpha$ -phenyl-N-[3-(phenylmethyl)-3-azabicyclo[3.1.0]hex-6-yl]- (9CI) (CA INDEX NAME)



RN 913981-43-8 CAPLUS  
CN Benzeneacetamide,  $\alpha$ -methoxy- $\alpha$ -phenyl-N-[3-(phenylmethyl)-3-azabicyclo[3.1.0]hex-6-yl]- (9CI) (CA INDEX NAME)



RN 913981-45-0 CAPLUS  
CN Benzeneacetamide, N-ethyl- $\alpha$ -hydroxy- $\alpha$ -phenyl-N-[3-(phenylmethyl)-3-azabicyclo[3.1.0]hex-6-yl]- (9CI) (CA INDEX NAME)

L7 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN  
ACCESSION NUMBER: 9906-444078 CAPLUS

DOCUMENT NUMBER: 146:358692  
TITLE: 3,6-Disubstituted azabicyclo[3.1.0]hexane derivatives as muscarinic receptor antagonists, their preparation and use in therapy  
INVENTOR(S): Mehta, Anita; Dutt, Silamkoti; Viswanatham Arun; Miriyala, Bruhaspathy; Arora, Sudershan Kumar; Srinivasulu, Boju; Mukherjee, Kireeswar; Gupta, Jang Bahadur  
PATENT ASSIGNEE(S): Ranbaxy Laboratories Ltd., India  
SOURCE: Indian, 63pp.  
CODEN: INXXAP  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
IN 193551	A1	20040724	IN 2001-DE1230	20011207
PRIORITY APPLN. INFO.:			IN 2001-DE1230	20011207

GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The invention relates to azabicyclohexanes of general formula I, which are muscarinic receptor antagonists. In compds. I, Ar is (un)substituted aryl or (un)substituted heteroaryl, containing 1 or 2 heteroatoms independently selected from O, S, and N; R1 is H, OH, halo, CH2OH, NH2, alkoxy, or carbamoyl; R2 is selected from C3-7 cycloalkyl, C3-7 cycloalkenyl, (un)substituted aryl, and (un)substituted heteroaryl, containing 1 or 2 heteroatoms selected from O, S, and N; W is a bond or CH2; X is a bond, O, S, or N; Y is CH(R5)C(O) or (CH2)q, where R5 is H or Me and q is 0-4; R3 is H, lower alkyl, or CO2Me3; Z is a bond, CH2, or CH2CH2; and R4 is (un)substituted saturated or unsatd. C1-15 aliphatic hydrocarbon group; including pharmaceutically acceptable salts thereof. The invention also relates to the preparation of I, pharmaceutical compns. containing compds. of the invention, as well as to the use of the compns. for the treatment of respiratory, urol., and digestive diseases mediated through muscarinic receptors. Amidation of (R)-2-cyclopentyl-2-hydroxy-2-phenylacetic acid (reference for preparation is given) with azabicyclo II (reference for preparation is given) gave carboxamide III, which underwent debenzylating hydrogenation and N-alkylation with 5-bromo-2-methyl-2-pentene to give azabicyclohexane IV. The compds. of the invention are selective muscarinic antagonists, e.g., compound IV expressed 45-fold selectivity for binding to M3 receptors (Ki = 12.4 nM) over M2 receptors (Ki = 564 nM) and expressed KB value of 7.95

L7 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

in a functional assay.

IT 712355-52-7P 712355-53-8P 712355-54-9P

712355-55-0P 712355-56-1P 712355-57-2P

712355-58-3P 712355-68-5P 712355-69-6P

712355-72-1P

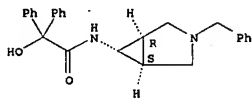
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate: preparation of azabicyclo[3.1.0]hexane derivs. as muscarinic receptor antagonists)

RN 712355-52-7 CAPLUS

CN Benzeneacetamide,  $\alpha$ -hydroxy- $\alpha$ -phenyl-N-[(1a,5a,6a)-3-(phenylmethyl)-3-azabicyclo[3.1.0]hex-6-yl]- (9CI) (CA INDEX NAME)

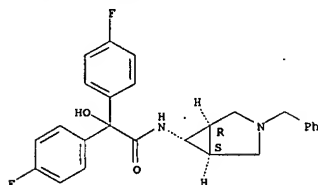
Relative stereochemistry.



RN 712355-53-8 CAPLUS

CN Benzeneacetamide, 4-fluoro- $\alpha$ -(4-fluorophenyl)- $\alpha$ -hydroxy-N-[(1a,5a,6a)-3-(phenylmethyl)-3-azabicyclo[3.1.0]hex-6-yl]- (9CI) (CA INDEX NAME)

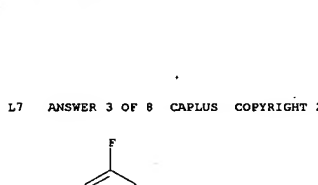
Relative stereochemistry.



RN 712355-54-9 CAPLUS

CN Benzeneacetamide,  $\alpha$ -phenyl-N-[(1a,5a,6a)-3-(phenylmethyl)-3-azabicyclo[3.1.0]hex-6-yl]- $\alpha$ -(2-propenyloxy)- (9CI) (CA INDEX NAME)

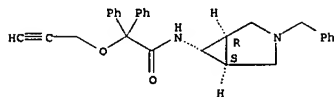
Relative stereochemistry.



RN 712355-58-3 CAPLUS

CN Benzeneacetamide,  $\alpha$ -phenyl-N-[(1a,5a,6a)-3-(phenylmethyl)-3-azabicyclo[3.1.0]hex-6-yl]- $\alpha$ -(2-propynyloxy)- (9CI) (CA INDEX NAME)

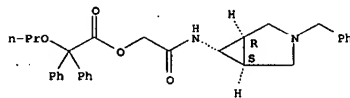
Relative stereochemistry.



RN 712355-68-5 CAPLUS

CN Benzeneacetic acid,  $\alpha$ -phenyl- $\alpha$ -propoxy-, 2-oxo-2-[(1a,5a,6a)-3-(phenylmethyl)-3-azabicyclo[3.1.0]hex-6-yl]aminoethyl ester (9CI) (CA INDEX NAME)

Relative stereochemistry.

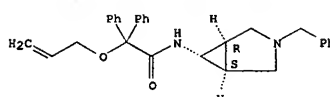


RN 712355-69-6 CAPLUS

CN Benzeneacetic acid,  $\alpha$ -phenyl- $\alpha$ -(2-propenyloxy)-, 2-oxo-2-[(1a,5a,6a)-3-(phenylmethyl)-3-azabicyclo[3.1.0]hex-6-yl]aminoethyl ester (9CI) (CA INDEX NAME)

Relative stereochemistry.

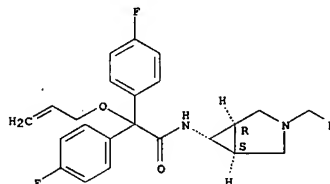
L7 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 712355-55-0 CAPLUS

CN Benzeneacetamide, 4-fluoro- $\alpha$ -(4-fluorophenyl)-N-[(1a,5a,6a)-3-(phenylmethyl)-3-azabicyclo[3.1.0]hex-6-yl]- $\alpha$ -(2-propenyloxy)- (9CI) (CA INDEX NAME)

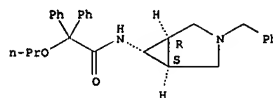
Relative stereochemistry.



RN 712355-56-1 CAPLUS

CN Benzeneacetamide,  $\alpha$ -phenyl-N-[(1a,5a,6a)-3-(phenylmethyl)-3-azabicyclo[3.1.0]hex-6-yl]- $\alpha$ -propoxy- (9CI) (CA INDEX NAME)

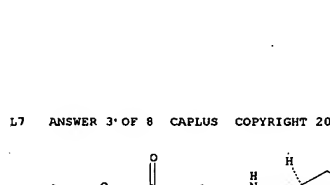
Relative stereochemistry.



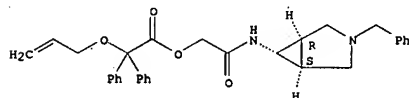
RN 712355-57-2 CAPLUS

CN Benzeneacetamide, 4-fluoro- $\alpha$ -(4-fluorophenyl)-N-[(1a,5a,6a)-3-(phenylmethyl)-3-azabicyclo[3.1.0]hex-6-yl]- $\alpha$ -propoxy- (9CI) (CA INDEX NAME)

Relative stereochemistry.



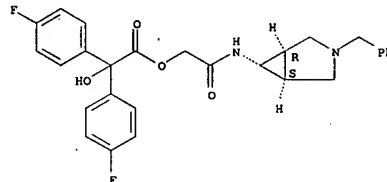
L7 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 712355-72-1 CAPLUS

CN Benzeneacetic acid, 4-fluoro- $\alpha$ -(4-fluorophenyl)- $\alpha$ -hydroxy-, 2-oxo-2-[(1a,5a,6a)-3-(phenylmethyl)-3-azabicyclo[3.1.0]hex-6-yl]aminoethyl ester (9CI) (CA INDEX NAME)

Relative stereochemistry.

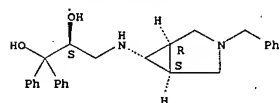


OTHER SOURCE(S): CASREACT 144:350723; MARPAT 144:350723  
AB This present invention generally relates to muscarinic receptor  
antagonists (PhC(X)(OR)(C:(G)CH2N(R1)(R2)(I) or  
PhC(X)(OH)(C:(G)CH2N(R1)(R2)  
(II); variables defined below; e.g.  
1-cyclopentyl-3-([1,4]diazepan-1-yl)-1-  
hydroxy-1-phenylpropan-2-one), which are useful, among other uses, for  
the  
treatment of various diseases of the respiratory, urinary and  
gastrointestinal systems mediated through muscarinic receptors. The  
invention also relates to the process for the preparation of disclosed  
comps.,  
pharmaceutical compns. containing the disclosed compds., and the methods  
for  
treating diseases mediated through muscarinic receptors. For I and II: X

O=C(O)(c1ccccc1)C(O)(c1ccccc1)CN2C3CCN(C3)C2

### Absolute stereochemistry.

Absolute stereochemistry.

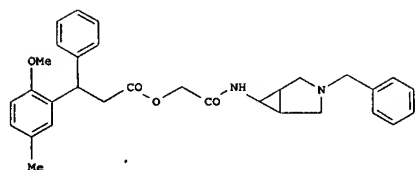
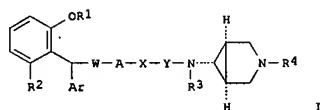


OTHER SOURCE(S) : MARPAT 141:350045  
GI

Instant App

DATE \_\_\_\_\_  
20030409  
CA, CH, CN,

L7 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



AB Title compds. I [Ar = (hetero)aryl, etc.; R1 = H, alk(en/yn)yl, etc.; R2 = H, alkyl; A = (CH2)0-4, CO; W = (CH2)1-4; X = O, S, amino; Y = alkyl; R3-4 = H, alkyl, cycloalkyl, etc.] are prepared. For instance, II is prepared from (3-benzyl-3-azabicyclo[3.1.0]hexan-6-yl)amine, 2-chloroacetyl chloride and (2-methoxy-5-methylphenyl)-3-phenylpropanoic acid. II exhibited pKi < 6 for both the muscarinic M2 and M3 receptors. I are useful for the treatment of respiratory, urinary and gastrointestinal disorders.

IT 777068-38-9P 777068-40-3P 777068-58-3P 777068-64-1P

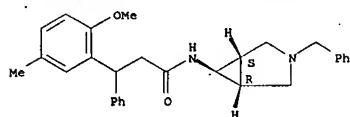
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (preparation of substituted azabicyclo hexane derivs. as muscarinic

M2 and M3 receptor antagonists)

RN 777068-38-9 CAPLUS  
CN Benzenepropanoic acid, 2-methoxy-5-methyl-β-phenyl-, 2-oxo-2-[(1a,5a,6a)-3-(phenylmethyl)-3-azabicyclo[3.1.0]hex-6-yl]amino]ethyl ester (9CI) (CA INDEX NAME)

Relative stereochemistry.

L7 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



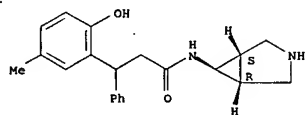
IT 777068-42-5P 777068-44-7P 777068-50-5P 777068-52-7P 777068-55-0P 777068-57-2P 777068-66-3P 777068-67-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of substituted azabicyclo hexane derivs. as muscarinic

M2 and M3 receptor antagonists)

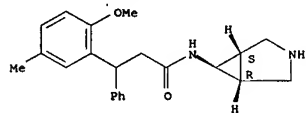
RN 777068-42-5 CAPLUS  
CN Benzenepropanamide, N-[(1a,5a,6a)-3-azabicyclo[3.1.0]hex-6-yl-2-hydroxy-5-methyl-β-phenyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 777068-44-7 CAPLUS  
CN Benzenepropanamide, N-[(1a,5a,6a)-3-azabicyclo[3.1.0]hex-6-yl-2-methoxy-5-methyl-β-phenyl- (9CI) (CA INDEX NAME)

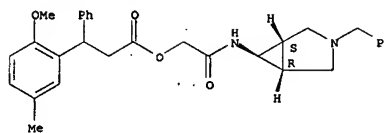
Relative stereochemistry.



RN 777068-50-5 CAPLUS  
CN Benzenepropanoic acid, 2-methoxy-5-methyl-β-phenyl-, 2-[(1a,5a,6a)-3-azabicyclo[3.1.0]hex-6-ylamino]-2-oxoethyl ester (9CI) (CA INDEX NAME)

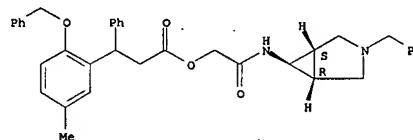
Relative stereochemistry.

L7 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



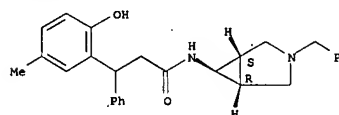
RN 777068-40-3 CAPLUS  
CN Benzenepropanoic acid, 5-methyl-β-phenyl-2-(phenylmethoxy)-, 2-oxo-2-[(1a,5a,6a)-3-(phenylmethyl)-3-azabicyclo[3.1.0]hex-6-yl]amino]ethyl ester (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 777068-58-3 CAPLUS  
CN Benzenepropanamide, 2-hydroxy-5-methyl-β-phenyl-N-[(1a,5a,6a)-3-(phenylmethyl)-3-azabicyclo[3.1.0]hex-6-yl]- (9CI) (CA INDEX NAME)

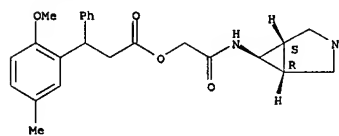
Relative stereochemistry.



RN 777068-64-1 CAPLUS  
CN Benzenepropanamide, 2-methoxy-5-methyl-β-phenyl-N-[(1a,5a,6a)-3-(phenylmethyl)-3-azabicyclo[3.1.0]hex-6-yl]- (9CI) (CA INDEX NAME)

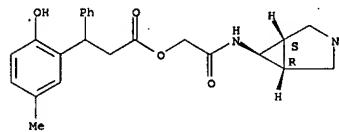
Relative stereochemistry.

L7 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



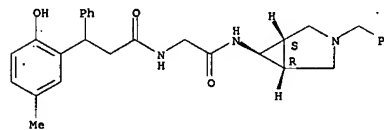
RN 777068-52-7 CAPLUS  
CN Benzenepropanoic acid, 2-hydroxy-5-methyl-β-phenyl-, 2-[(1a,5a,6a)-3-azabicyclo[3.1.0]hex-6-ylamino]-2-oxoethyl ester (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 777068-55-0 CAPLUS  
CN Benzenepropanamide, 2-hydroxy-5-methyl-N-[2-oxo-2-[(1a,5a,6a)-3-(phenylmethyl)-3-azabicyclo[3.1.0]hex-6-yl]amino]ethyl]-β-phenyl- (9CI) (CA INDEX NAME)

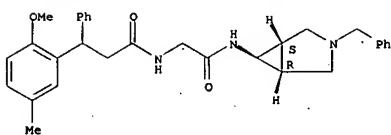
Relative stereochemistry.



RN 777068-57-2 CAPLUS  
CN Benzenepropanamide, 2-methoxy-5-methyl-N-[2-oxo-2-[(1a,5a,6a)-3-(phenylmethyl)-3-azabicyclo[3.1.0]hex-6-yl]amino]ethyl]-β-phenyl- (9CI) (CA INDEX NAME)

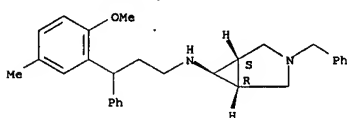
Relative stereochemistry.

L7 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



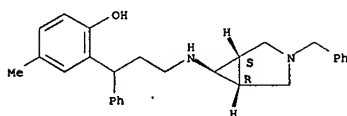
RN 777068-66-3 CAPLUS  
CN 3-Azabicyclo[3.1.0]hexan-6-amine, N-[3-(2-methoxy-5-methylphenyl)-3-phenylpropyl]-3-(phenylmethyl)-, (1a,5a,6a)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 777068-67-4 CAPLUS  
CN Phenol, 4-methyl-2-[1-phenyl-3-[(1a,5a,6a)-3-(phenylmethyl)-3-azabicyclo[3.1.0]hex-6-yl]amino]propyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.



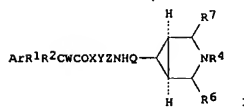
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:675748 CAPLUS  
DOCUMENT NUMBER: 141:207060  
TITLE: Preparation of azabicyclo[3.1.0]hexanes as muscarinic receptor antagonists  
INVENTOR(S): Mehta, Anita; Miriyala, Bruhaspathy; Kumar, Naresh; Gupta, Jang Bahadur  
PATENT ASSIGNEE(S): Ranbaxy Laboratories Limited, India  
SOURCE: PCT Int. Appl., 37 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004069835	A1	20040819	WO 2003-18416	20030207
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GM, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2003205964	A1	20040830	AU 2003-205964	20030207
EP 1594871	A1	20051116	EP 2003-702847	20030207
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, ES, HU, SK			
US 2007010568	A1	20070111	US 2006-544520	20060904
PRIORITY APPLN. INFO.:			WO 2003-18416	A 20030207

OTHER SOURCE(S): CASREACT 141:207060; MARPAT 141:207060  
GI



AB Title compds. [I; Ar = (substituted) aryl, heteroaryl; R1 = H, OH, HOCH2, aryl, alkylaryl, amino, alkoxy, carbamoyl, halo; R2 = alkyl, cycloalkyl, cycloalkenyl, (substituted) aryl, heteroaryl; W = (CH2)p; p = 0, 1; X = O, S, NR, null; Y = null, CHR5CO, Me, (CH2)q; q = 0-4; R5 = H; Z = null,

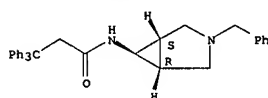
L7 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)  
NHR8CO; R8 = (CH2)r; r = 0-4; Q = (CH2)n; n = 0, 1; R6, R7 = H, Me, CO2H, CONH2, NH2, CH2NH2; R4 = H, (substituted) (unsatd.) hydrocarbyl, were prepd. Thus, N-[(1a,5a,6a)-3-azabicyclo[3.1.0]hexan-6-yl] 3,3,3-triphenylpropionamide, 4-methyl-3-pentenyl bromide, K2CO3, and KI were stirred in DMF at 60-70° for 3 h and at room temp. overnight to give N-[(1a,5a,6a)-3-(4-methyl-3-pentenyl)azabicyclo[3.1.0]hexan-6-yl] 3,3,3-triphenylpropionamide. I bound to M2 and M3 receptors with pKi <6.  
741676-03-SP 741676-04-OP 741676-05-1P  
741676-06-2P 741676-09-5P 741676-10-8P  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(claimed compound; preparation of azabicyclohexanes as muscarinic receptor

antagonists)

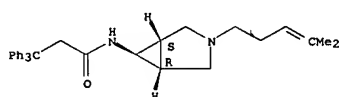
RN 741676-03-3 CAPLUS  
CN Benzenepropanamide, N-[(1a,5a,6a)-3-(4-methyl-3-pentenyl)-3-azabicyclo[3.1.0]hex-6-yl]-β,β-diphenyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 741676-04-0 CAPLUS  
CN Benzenepropanamide, N-[(1a,5a,6a)-3-(4-methyl-3-pentenyl)-3-azabicyclo[3.1.0]hex-6-yl]-β,β-diphenyl- (9CI) (CA INDEX NAME)

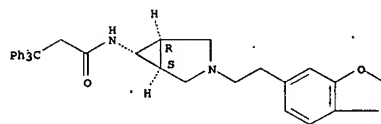
Relative stereochemistry.



RN 741676-05-1 CAPLUS  
CN Benzenepropanamide, N-[(1a,5a,6a)-3-[2-(1,3-benzodioxol-5-yl)ethyl]-3-azabicyclo[3.1.0]hex-6-yl]-β,β-diphenyl- (9CI) (CA INDEX NAME)

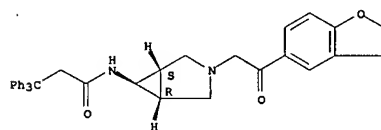
Relative stereochemistry.

L7 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



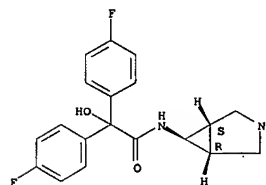
RN 741676-06-2 CAPLUS  
CN Benzenepropanamide, N-[(1a,5a,6a)-3-(2-(2,3-dihydro-5-benzofuranyl)-2-oxoethyl)-3-azabicyclo[3.1.0]hex-6-yl]-β,β-diphenyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 741676-09-5 CAPLUS  
CN Benzenacetamide, N-[(1a,5a,6a)-3-(4-methyl-3-pentenyl)-3-azabicyclo[3.1.0]hex-6-yl]-β,β-diphenyl- (9CI) (CA INDEX NAME)

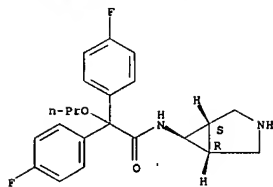
Relative stereochemistry.



RN 741676-10-8 CAPLUS  
CN Benzenacetamide, N-[(1a,5a,6a)-3-(4-methyl-3-pentenyl)-3-azabicyclo[3.1.0]hex-6-yl]-β,β-diphenyl- (9CI) (CA INDEX NAME)

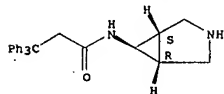
Relative stereochemistry.

L7 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



IT 741676-11-9  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (preparation of azabicyclohexanes as muscarinic receptor antagonists)  
 RN 741676-11-9 CAPLUS  
 CN Benzenepropanamide, N-(1a,5a,6a)-3-azabicyclo[3.1.0]hex-6-yl-β,β-diphenyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.



IT 712355-53-8P 712355-57-2P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of azabicyclohexanes as muscarinic receptor antagonists)  
 RN 712355-53-8 CAPLUS  
 CN Benzenacetamide, 4-fluoro-α-(4-fluorophenyl)-α-hydroxy-N-[(1a,5a,6a)-3-(phenylmethyl)-3-azabicyclo[3.1.0]hex-6-yl]- (9CI) (CA INDEX NAME)

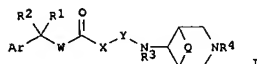
Relative stereochemistry.

L7 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:648506 CAPLUS  
 DOCUMENT NUMBER: 141:190686  
 TITLE: Preparation of 3,6-disubstituted azabicyclohexanes as muscarinic receptor antagonists  
 INVENTOR(S): Mehta, Anita; Silamkoti, Arundutt V.; Kumar, Naresh; Gupta, Jang Bahadur  
 PATENT ASSIGNEE(S): Ranbaxy Laboratories Limited, India  
 SOURCE: PCT Int. Appl., 115 pp.  
 COVEN: PIXAD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

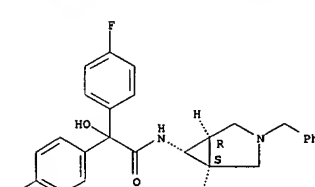
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004067510	A1	20040812	WO 2003-1B256	20030128
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2003202727	A1	20040823	AU 2003-202727	20030128
EP 1590325	A1	20051102	EP 2003-701638	20030128
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
US 2006247225	A1	20061102	US 2005-543585	20050727
PRIORITY APPLN. INFO.:			WO 2003-1B256	A 20030128

OTHER SOURCE(S): CASREACT 141:190686; MARPAT 141:190686  
 GI



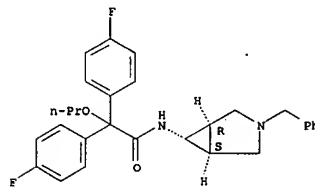
AB Title compds. [I; Ar = (substituted) aryl, heteroaryl; R1 = H, OH, HOCH2, alkyl, amino, alkoxy, cycloalkyl, carbamoyl, halo, aryl; R2 = alkyl, cycloalkyl, cycloalkenyl, (substituted) aryl, heteroaryl; W = (CH2)p; p = 0, 1; X = O, S, NR, null; Y = CHR5CO; R5 = H, Me, (CH2)q; q = 0-4; Q = (CH2)m; m = 0-2; R3 = H, alkyl, CO2CMe3; R4 = (unsatd.) (substituted) aliphatic], were prepared. Thus, 5-bromo-4-methylpent-3-ene, (1a,5a,6a)-6-tert-butoxycarbonylamino-3-azabicyclo[3.1.0]hexane, and K2CO3 were refluxed 5 h in MeCN to give (1a,5a,6a)-N-3-(4-methyl-3-pentenyl)-6-tert-butoxycarbonylamino-3-azabicyclo[3.1.0]hexane. This was treated with aqueous

L7 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 712355-57-2 CAPLUS  
 CN Benzenacetamide, 4-fluoro-α-(4-fluorophenyl)-N-[(1a,5a,6a)-3-(phenylmethyl)-3-azabicyclo[3.1.0]hex-6-yl]-α-propoxy- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L7 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

HCl in EtOAc at 0° to give (1a,5a,6a)-N-3-(4-methyl-3-pentenyl)-6-amino-3-azabicyclo[3.1.0]hexane. The latter was stirred with 2-hydroxy-2-cyclopentyl-2-(4-methoxyphenyl)acetic acid, hydroxybenzotriazole, N-methylmorpholine, and EDC.HCl in DMF at 0° to room temp. to give (1a,5a,6a)-N-3-(4-methyl-3-pentenyl)-3-azabicyclo[3.1.0]hex-6-yl-2-hydroxy-2-cyclopentyl-2-(4-methoxyphenyl)acetamide. In a Contractile assay using rat bladder strips,

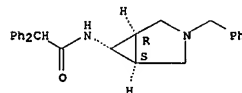
I showed pKB = 5.08-8.36 nM.

IT 712357-03-4P 738628-84-7P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of 3,6-disubstituted azabicyclohexanes as muscarinic receptor antagonists)

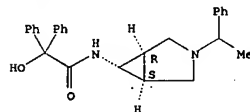
RN 712357-03-4 CAPLUS  
 CN Benzenacetamide, α-phenyl-N-[(1a,5a,6a)-3-(phenylmethyl)-3-azabicyclo[3.1.0]hex-6-yl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 738628-84-7 CAPLUS  
 CN Benzenacetamide, α-phenyl-N-[(1a,5a,6a)-3-(1-phenylethyl)-3-azabicyclo[3.1.0]hex-6-yl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

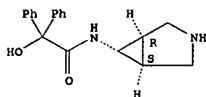


IT 738629-42-0  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (preparation of 3,6-disubstituted azabicyclohexanes as muscarinic receptor antagonists)

RN 738629-42-0 CAPLUS  
 CN Benzenacetamide, N-[(1a,5a,6a)-3-azabicyclo[3.1.0]hex-6-yl]-α-hydroxy-α-phenyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.

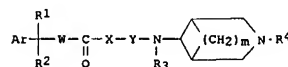
L7 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2007 ACS ON STN (Continued)



L7 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2007 ACS ON STN

ACCESSION NUMBER: 2004:515483 CAPLUS  
 DOCUMENT NUMBER: 141:71449  
 TITLE: Preparation of 3,6-disubstituted azabicyclo[3.1.0]hexane derivatives as muscarinic receptor antagonists  
 INVENTOR(S): Mehta, Anita; Silamkoti, Arundutt Viswanatham; Miriyala, Bruhaspathy; Arora, Sudershan Kumar; Srinivasulu, Boju; Mukherjee, Bireshwar; Gupta, Jang Bahadur  
 PATENT ASSIGNEE(S): Ranbaxy Laboratories Limited, India  
 SOURCE: PCT Int. Appl., 118 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004052857	A1	20040624	WO 2002-IB5220	20021210
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, T2, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002353286	A1	20040630	AU 2002-353286	20021210
EP 1572648	A1	20050914	EP 2002-788307	20021210
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
JP 2006518707	T	20060817	JP 2004-558864	20021210
US 2006217432	A1	20060928	US 2005-537851	20050608
PRIORITY APPLN. INFO.:				WO 2002-IB5220 A 20021210
OTHER SOURCE(S):		CASREACT 141:71449; MARPAT 141:71449		
GI				



AB Title compds. I (Ar = aryl, heteroaryl, etc.; R1cycloalkyl, cycloalkenyl, aryl, heteroaryl, etc.; R2 = H, OH, amino, alkoxy, alkenyloxy, alkynyloxy, carbamoyl, halo; W = (CH2)p; p = 0, 1; X = O, S, amino, no atom; Y = (CHR5)qCO, R5 = H, Me; (CH2)q; q = 0-4; m = 0-2; R3 = H, alkyl, CO2Bu-t;

10/537851  
 Pat 7232835

L7 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2007 ACS ON STN (Continued)

R4 = H, alkyl, etc.) and their pharmaceutically acceptable salts are prepd. The compds. of this invention can function as muscarinic receptor antagonists, and can be used for the treatment of various diseases of the respiratory, urinary and gastrointestinal systems mediated through muscarinic receptors. The invention also relates to pharmaceutical compns. contg. the compds. of the present invention and the methods for treating the diseases mediated through muscarinic receptors.

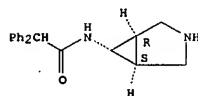
IT 712357-04-5P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 3,6-disubstituted azabicyclohexane derivs. as muscarinic

receptor antagonists)

RN 712357-04-5 CAPLUS  
 CN Benzeneacetamide, N-[(1a,5a,6a)-3-azabicyclo[3.1.0]hex-6-yl]-α-phenyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.



IT 712357-03-4P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

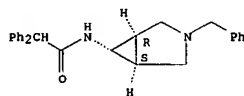
(preparation of 3,6-disubstituted azabicyclohexane derivs. as

muscarinic

receptor antagonists)

RN 712357-03-4 CAPLUS  
 CN Benzeneacetamide, α-phenyl-N-[(1a,5a,6a)-3-(phenylmethyl)-3-azabicyclo[3.1.0]hex-6-yl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.



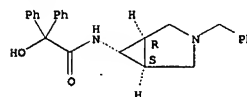
IT 712355-52-7P 712355-53-8P 712355-54-9P  
 712355-55-0P 712355-56-1P 712355-57-2P  
 712355-58-3P 712355-59-5P 712355-60-6P  
 712355-72-1P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of 3,6-disubstituted azabicyclohexane derivs. as muscarinic receptor antagonists)

L7 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2007 ACS ON STN (Continued)

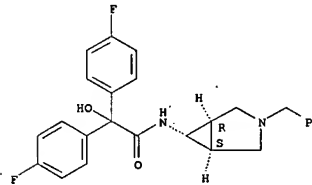
RN 712355-52-7 CAPLUS  
 CN Benzeneacetamide, α-hydroxy-α-phenyl-N-[(1a,5a,6a)-3-(phenylmethyl)-3-azabicyclo[3.1.0]hex-6-yl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.



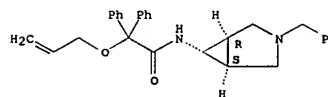
RN 712355-53-8 CAPLUS  
 CN Benzeneacetamide, 4-fluoro-α-(4-fluorophenyl)-α-hydroxy-N-[(1a,5a,6a)-3-(phenylmethyl)-3-azabicyclo[3.1.0]hex-6-yl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.



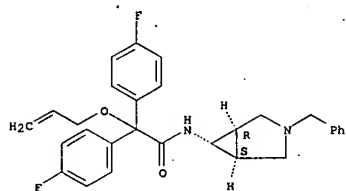
RN 712355-54-9 CAPLUS  
 CN Benzeneacetamide, α-phenyl-N-[(1a,5a,6a)-3-(phenylmethyl)-3-azabicyclo[3.1.0]hex-6-yl]-α-(2-propenyloxy)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



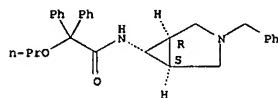
RN 712355-55-0 CAPLUS  
 CN Benzeneacetamide, 4-fluoro-α-(4-fluorophenyl)-N-[(1a,5a,6a)-3-(phenylmethyl)-3-azabicyclo[3.1.0]hex-6-yl]-α-(2-propenyloxy)- (9CI) (CA INDEX NAME)

L7 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)  
Relative stereochemistry.



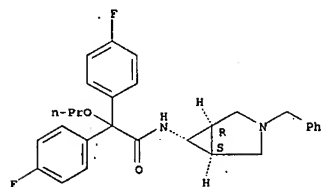
RN 712355-56-1 CAPLUS  
CN Benzeneacetamide,  $\alpha$ -phenyl-N-[(1a,5a,6a)-3-(phenylmethyl)-3-azabicyclo[3.1.0]hex-6-yl]- $\alpha$ -propoxy- (9CI) (CA INDEX NAME)

Relative stereochemistry.

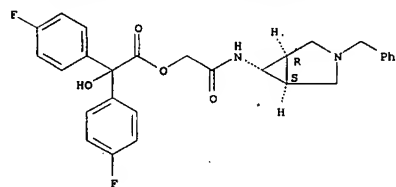


RN 712355-57-2 CAPLUS  
CN Benzeneacetamide, 4-fluoro- $\alpha$ -(4-fluorophenyl)-N-[(1a,5a,6a)-3-(phenylmethyl)-3-azabicyclo[3.1.0]hex-6-yl]- $\alpha$ -propoxy- (9CI) (CA INDEX NAME)

Relative stereochemistry.

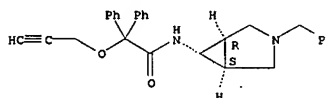


L7 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



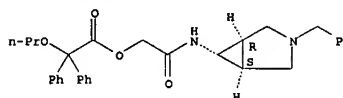
L7 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)  
RN 712355-58-3 CAPLUS  
CN Benzeneacetamide,  $\alpha$ -phenyl-N-[(1a,5a,6a)-3-(phenylmethyl)-3-azabicyclo[3.1.0]hex-6-yl]- $\alpha$ -(2-propynyloxy)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



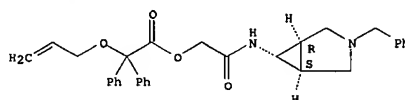
RN 712355-68-5 CAPLUS  
CN Benzeneacetic acid,  $\alpha$ -phenyl- $\alpha$ -propoxy-, 2-oxo-2-[[[(1a,5a,6a)-3-(phenylmethyl)-3-azabicyclo[3.1.0]hex-6-yl]amino]ethyl ester (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 712355-69-6 CAPLUS  
CN Benzeneacetic acid,  $\alpha$ -phenyl- $\alpha$ -(2-propynyloxy)-, 2-oxo-2-[[[(1a,5a,6a)-3-(phenylmethyl)-3-azabicyclo[3.1.0]hex-6-yl]amino]ethyl ester (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 712355-72-1 CAPLUS  
CN Benzeneacetic acid, 4-fluoro- $\alpha$ -(4-fluorophenyl)- $\alpha$ -hydroxy-, 2-oxo-2-[[[(1a,5a,6a)-3-(phenylmethyl)-3-azabicyclo[3.1.0]hex-6-yl]amino]ethyl ester (9CI) (CA INDEX NAME)

Relative stereochemistry.